

L Number	Hits	Search Text	DB	Time stamp
1	2202	("514/183,252.10,255.06").CCLS	USPAT	2004/03/12 11:53
2	1884	("544/224,336,406,407").CCLS	USPAT	2004/03/12 11:53
3	151	("514/183,252.10,255.06").CCLS	USPAT	2004/03/12 11:53
4	0	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and 1,4-diazine	USPAT	2004/03/12 11:54
5	0	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and syk	USPAT	2004/03/12 11:54
6	0	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and allergy	USPAT	2004/03/12 11:54
7	78	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and naphthyl	USPAT	2004/03/12 11:54
8	121	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and phenyl	USPAT	2004/03/12 11:55
9	76	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and naphthyl) and ((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and phenyl)	USPAT	2004/03/12 11:57
10	60	((("514/183,252.10,255.06").CCLS) and ("544/224,336,406,407").CCLS) and pyrazine	USPAT	2004/03/12 11:58

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* * * * * Welcome to STN International * * * * *

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NEWS 7 OCT 21 BIOSIS file reloaded and enhanced
NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
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NEWS 14 DEC 17 DGENE: Two new display fields added
NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer
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NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS
databases
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated
and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/CAPLUS
NEWS 22 FEB 05 German (DE) application and patent publication number format
changes
NEWS 23 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 25 MAR 03 FRANCEPAT now available on STN

NEWS EXPRESS MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
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FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004

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STRUCTURE FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9

DICTIONARY FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

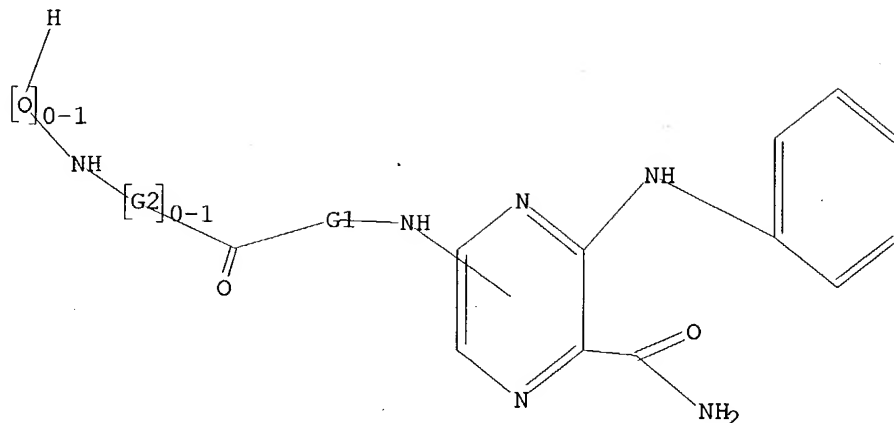
Uploading c:\program files\stnexp\queries\10009276.5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy,Ak

G2 CH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,NH,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:13:17 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 10) (20040307/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6683216 27 JAN 2004

DE 10317487 12 FEB 2004

EP 1388563 11 FEB 2004

JP 2004047131 12 FEB 2004

WO 2004011964 05 FEB 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

Patel

<3/12/2004>

=> s ll sss full

FULL SEARCH INITIATED 11:13:31 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 5124 TO ITERATE

94.5% PROCESSED 4843 ITERATIONS

4 ANSWERS

100.0% PROCESSED 5124 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.25

L3 4 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

109.84

265.47

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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=>

=> s ll sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

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FULL SEARCH INITIATED 11:14:44 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

421.73

FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004

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FILE COVERS 1907 - 12 Mar 2004 VOL 140 ISS 12

FILE LAST UPDATED: 11 Mar 2004 (20040311/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004)

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004

L3 4 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004

S L1

FILE 'REGISTRY' ENTERED AT 11:14:43 ON 12 MAR 2004

L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:14:44 ON 12 MAR 2004

L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004

=> s 13

L6 4 L3

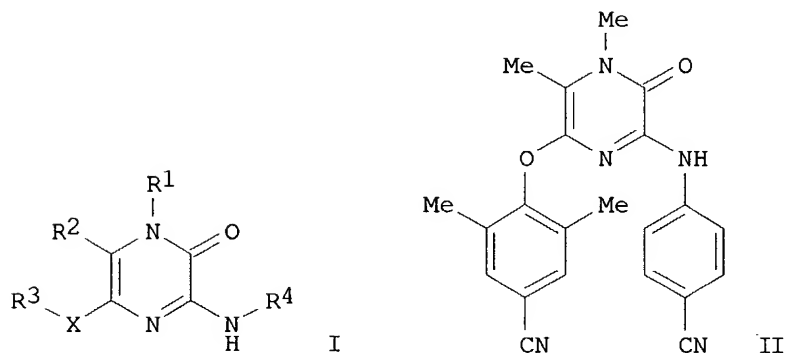
=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:77732 CAPLUS
 DN **137:294978**
 TI Preparation of HIV inhibiting pyrazinones
 IN Janssen, Paul Adriaan Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus Joannes; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Heeres, Jan; Daeyaert, Frederik Frans Desire; Hoornaert, Georges Joseph Cornelius; Compernelle, Frans Josef Cornelius; Kilonda, Amuri
 PA Janssen Pharmaceutica N.V., Belg.
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002078708	A1	20021010	WO 2002-EP2806	20020313
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 2001-200971 A 20010315 EP 2002-740421 20020313 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR EP 2001-200971 A 20010315 WO 2002-EP2806 W 20020313				
	EP 1370265	A1	20031217	EP 2002-740421	20020313
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR EP 2001-200971 A 20010315 WO 2002-EP2806 W 20020313				

OS MARPAT 137:294978
 GI



AB The title compds. [I; R¹ = H, OH, CN, etc.; R² = H, halo, SH, etc.; R³, R⁴ = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; X = O, N:N, NHNH, NR¹⁴, alkanediyl, etc.; R¹⁴ = H, aryl, formyl, etc.], useful in inhibiting HIV replication, were prepared Thus, refluxing 5-bromo-3-(4-cyanophenylamino)-

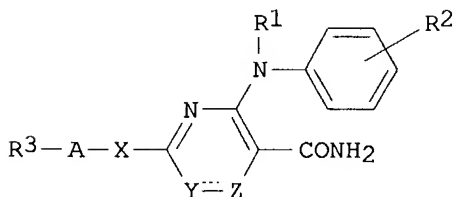
1,6-dimethyl-2(1H)-pyrazinone (preparation given) with 4-hydroxy-3,5-dimethylbenzonitrile in the presence of cesium carbonate, copper(I) chloride, 1-naphthoic acid and mol. sieves 4Å in toluene for 6 days afforded II which showed IC50 of 0.0063 µM against HIV-1.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:881124 CAPLUS
DN **134:42141**
TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				
JP 2001055378	A2	20010227	JP 1999-162692 A	19990609
			JP 2000-171185	20000607
			JP 1999-162692 A	19990609
EP 1184376	A1	20020306	EP 2000-935619	20000609
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO</p>				
			JP 1999-162692 A	19990609
			WO 2000-JP3767 W	20000609

OS MARPAT 134:42141
GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents

-X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (Syk) inhibitors containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤ 0.05 μM against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤ 0.1 μM against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:761738 CAPLUS

DN 128:48245

TI Preparation of benzamidine derivatives as anticoagulants

IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei

PA Berlex Laboratories, Inc., USA

SO U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5691364	A	19971125	US 1995-473385	19950607
				US 1995-401829 B2	19950310
	CA 2214685	AA	19960919	CA 1996-2214685	19960308
				US 1995-401829 A	19950310
				US 1995-473385 A	19950607
	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1995-401829 A	19950310
				US 1995-473385 A2	19950607
	AU 9652994	A1	19961002	AU 1996-52994	19960308
	AU 707323	B2	19990708		
				US 1995-401829 A	19950310
				US 1995-473385 A	19950607
				WO 1996-US2641 W	19960308

EP 813525 A1 19971229 EP 1996-909536 19960308
 EP 813525 B1 20031001
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI

AT 251141	E	20031015	US 1995-401829 A 19950310
			US 1995-473385 A 19950607
			WO 1996-US2641 W 19960308
			AT 1996-909536 19960308
			US 1995-401829 A 19950310
			US 1995-473385 A 19950607
			WO 1996-US2641 W 19960308
US 5877181	A	19990302	US 1997-910774 19970813
			US 1995-401829 B219950310
			US 1995-473385 A319950607
US 5883100	A	19990316	US 1997-910614 19970813
			US 1995-401829 B219950310
			US 1995-473385 A319950607
US 5889005	A	19990330	US 1997-910876 19970813
			US 1995-401829 B219950310
			US 1995-473385 A319950607
US 6034103	A	20000307	US 1997-910609 19970813
			US 1995-401829 B219950310
			US 1995-473385 A319950607
US 6306884	B1	20011023	US 1999-436399 19991108
			US 1995-401829 B219950310
			US 1995-473385 A219950607
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
US 6350746	B1	20020226	US 1999-457457 19991208
			US 1995-401829 B219950310
			US 1995-473385 A319950607
			US 1997-910609 A319970813

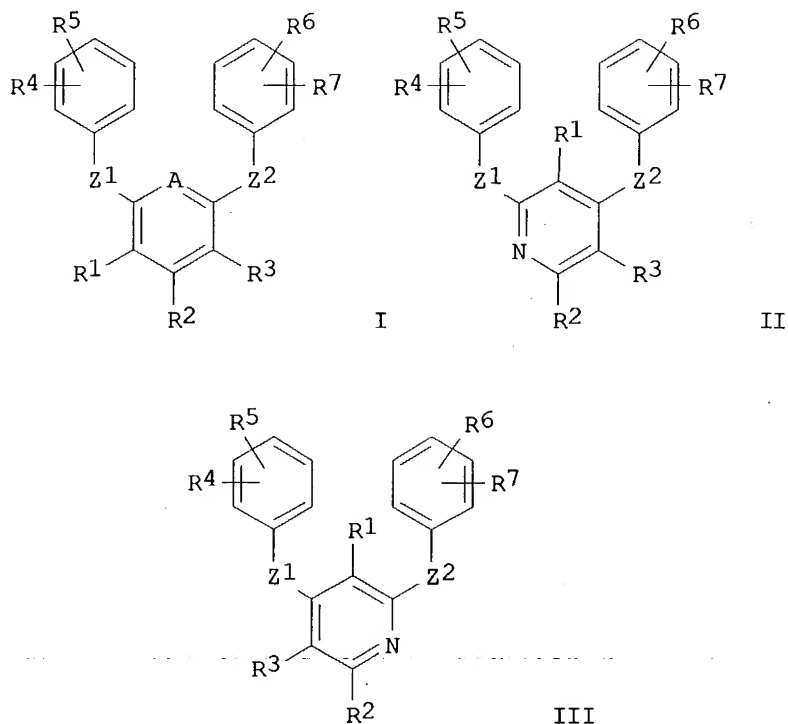
PATENT FAMILY INFORMATION:

FAN 1996:701501

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5691364	A	19971125	US 1995-401829 A 19950310	
				US 1995-473385 A219950607	
				US 1995-473385 19950607	
				US 1995-401829 B219950310	
	AU 9652994	A1	19961002	AU 1996-52994	19960308
	AU 707323	B2	19990708		
				US 1995-401829 A 19950310	
				US 1995-473385 A 19950607	
				WO 1996-US2641 W 19960308	
	EP 813525	A1	19971229	EP 1996-909536	19960308
	EP 813525	B1	20031001		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1995-401829 A 19950310	
				US 1995-473385 A 19950607	
				WO 1996-US2641 W 19960308	
	JP 2000515846	T2	20001128	JP 1996-527640	19960308
				US 1995-401829 A 19950310	

AT 251141	E	20031015	WO 1996-US2641 W 19960308
			AT 1996-909536 19960308
			US 1995-401829 A 19950310
			US 1995-473385 A 19950607
US 6004981	A	19991221	WO 1996-US2641 W 19960308
			US 1997-913241 19971208
US 6306884	B1	20011023	WO 1996-US2641 W 19960308
			US 1999-436399 19991108
			US 1995-401829 B219950310
			US 1995-473385 A219950607
			WO 1996-US2641 W 19960308
US 2002028820	A1	20020307	US 1997-913241 A319971208
US 6686364	B2	20040203	US 2001-924893 20010807
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
US 2002035109	A1	20020321	US 2001-924413 20010807
US 6479485	B2	20021112	
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
US 2002032223	A1	20020314	US 2001-924412 20010808
US 6465459	B2	20021015	
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108

OS MARPAT 128:48245
GI



AB The title compds. [I-III; A = N; Z1, Z2 = O, S; R1, R3 = H, halo, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, haloalkyl, etc.; R4, R7 = H, halo, alkyl, NO2, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, etc.; R6 = (un)substituted (1,2)-imidazolyl or (1,2)-imidazolynyl; R8 = H, alkyl, aryl, etc.] are prepared I-III are useful as anticoagulants for treatment of disease-states characterized by thrombotic activity. Thus, 3,3'-[2,6-pyridinylbis(oxy)]bis(benzonitrile) (preparation given) was treated with HCl to give the title compound 3,3'-[2,6-pyridinylbis(oxy)]bis(benzamidine).2HCl. A formulation containing I-III were prepared

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:701501 CAPLUS

DN **125:328514**

TI Preparation of benzamidine derivatives as anticoagulants

IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei

PA Berlex Laboratories, Inc., USA

SO PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 1995-401829 A 19950310				
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 AU 9652994 A1 19961002
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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JP 2000515846 T2 20001128

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PATENT FAMILY INFORMATION:

FAN 1997:761738

	PATENT NO.	KIND	DATE
PI	US 5691364	A	19971125
	CA 2214685	AA	19960919
	WO 9628427	A1	19960919

W: AU, CA, JP, US

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US 1995-473385 19950607
 US 1995-401829 B219950310
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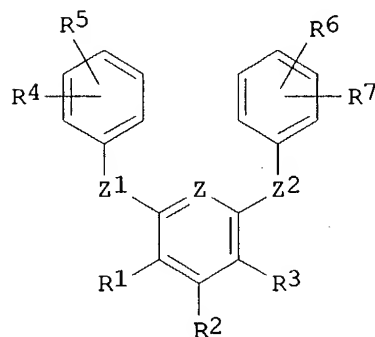
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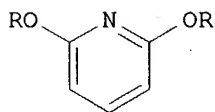
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			WO 1996-US2641 W	19960308
EP 813525	A1	19971229	EP 1996-909536	19960308
EP 813525	B1	20031001		
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AT 251141	E	20031015	US 1995-401829 A	19950310
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			US 1995-473385 A	19950607
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			US 1995-401829 B2	19950310
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			US 1995-401829 B2	19950310
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			US 1995-473385 A2	19950607
			WO 1996-US2641 W	19960308
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			US 1999-457457	19991208
			US 1995-401829 B2	19950310
			US 1995-473385 A3	19950607
			US 1997-910609 A3	19970813

OS MARPAT 125:328514
GI



I



II

AB Title compds., e.g., I [R1, R3 = H, halo, alkyl, alkoxy, etc.; R2 = H,

halo, alkyl, OR8, etc.; R4,R7 = H, halo, alkyl, OR8, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, C(:NH)NHCOR8, etc.; R6 = halo, alkyl, haloalkoxy, etc.; R8 = H, (ar)alkyl, aryl; Z = CR11 or N; R11 = H, halo, alkyl; Z1,Z2 = O, NR8, S, OCH2] were prepared as anticoagulants (no data). Thus, 2,6-difluoropyridine was bis-etherified by 3-(NC)C6H4OH and the product treated successively with HCl and NH3 to give title compound II.2HCl [R = C6H4[C(:NH)NH2]-3].

=> s syk inhibitors and allergy

L7 2 SYK INHIBITORS AND ALLERGY

=> s syl inhibitors and diseases

L8 0 SYL INHIBITORS AND DISEASES

=> s syk inhibitors and diseases

L9 2 SYK INHIBITORS AND DISEASES

=> s l7 and l9

L10 1 L7 AND L9

=> d l10 fbib hitstr abs total

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:881124 CAPLUS

DN 134:42141

TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors

IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

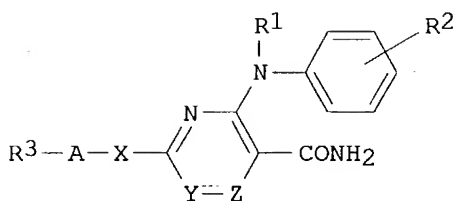
DT Patent

LA Japanese

FAN.CNT 1

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 1999-162692 A	19990609
	JP 2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A	19990609
	EP 1184376	A1	20020306	EP 2000-935619	20000609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				JP 1999-162692 A	19990609
				WO 2000-JP3767 W	20000609
OS	MARPAT 134:42141				

GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (**Syk**) **inhibitors** containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune **diseases**, cancers, transplant rejection, graft-vs.-host **diseases**, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤ 0.05 μM against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤ 0.1 μM against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004

L1 STRUCTURE UPLOADED

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4 S L3
L7 2 S SYK INHIBITORS AND ALLERGY
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L9 2 S SYK INHIBITORS AND DISEASES
L10 1 S L7 AND L9

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:634677 CAPLUS
TI Synthetic studies on heteroaryl carboxamide derivatives as novel
Syk inhibitors
AU Hisamichi, Hiroyuki; Kawazoe, Souichirou; Naito, Ryo; Toyoshima, Akira;
Ichikawa, Atsushi; Orita, Akiko; Orita, Masaya; Nakai, Ei-ichi; Takeuchi,
Makoto; Ohta, Mitsuaki; Tsukamoto, Shin-ichi
CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd,
Tsukuba, Ibaraki, 3058585, Japan
SO Abstracts of Papers, 226th ACS National Meeting, New York, NY, United
States, September 7-11, 2003 (2003), MEDI-068 Publisher: American Chemical
Society, Washington, D. C.
CODEN: 69EKY9
DT Conference; Meeting Abstract
LA English
AB As a part of searching for spleen tyrosine kinase (**Syk**)
inhibitors as potential therapeutic agents for **allergy**,
heteroaryl carboxamide derivs. were synthesized and evaluated for
inhibitory activities to Syk and to antigen-induced serotonin release from
RBL-2H3 cells. Among these compds., pyrimidine-5-carboxamide derivs. and
pyrazine-2-carboxamide derivs. showed excellent Syk inhibitory activities
with IC50 values below 10 nM and serotonin release inhibitory activities
with IC50 values below 30 nM. Some of these compds. also exhibited
inhibitory activities on passive cutaneous anaphylaxis model in mice
(ID50=10-30 mg/kg, p.o.). These compds., therefore, would be expected as
a drug for the treatment of allergTM. The synthesis and
structure-activity relationships of these compds. will be presented.

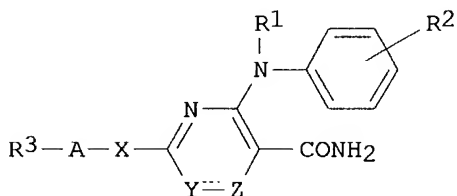
L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:881124 CAPLUS
DN 134:42141
TI Preparation of novel heterocyclic carboxamide derivatives as spleen
tyrosine kinase inhibitors
IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
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	JP 2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A	19990609
	EP 1184376	A1	20020306	EP 2000-935619	20000609
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				JP 1999-162692 A	19990609
				WO 2000-JP3767 W	20000609

OS MARPAT 134:42141

GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R₃, -N-(R₁)-(R₂-substituted Ph) and -CONH₂ [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR₄, CONR₄, NR₄CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR₅-CO, CO-NR₅, NR₅-NR₅, CO-CO; Y:Z = N:CR₁, CR₇:N, N:N, CR₇:CR₇; R₄ = each H, lower alkyl, -CO-lower alkyl, or -SO₂-lower alkyl; R₂ = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R₃ = -CO₂H, -CO₂-lower alkyl, -lower alkylene-CO₂H, -NH₂, -alkylene-NH₂, or the like; R₅ = H, lower alkyl; R₆ = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR₁-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R₇ = H, R₆] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (**Syk**) **inhibitors** containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC₅₀ of ≤0.05.

μ M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of $\leq 0.1 \mu$ M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-4.85

-4.85

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